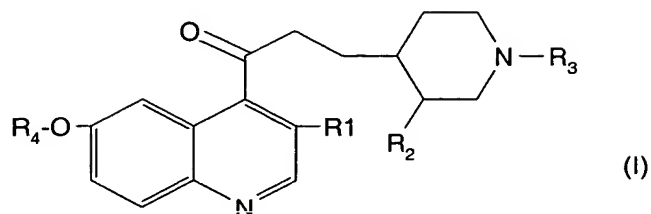


CLAIMS

1. A compound of the formula (I):



wherein:

R₁ is hydrogen or fluorine;

R₂ is carboxyl, carboxymethyl or hydroxymethyl;

R₃ is C₁₋₆alkyl substituted with phenylthio, C₃₋₇cycloalkylthio or 5- to 6-membered heteroarylthio; or propargyl substituted with phenyl, C₃₋₇cycloalkyl or 5- to 6-membered heteroaryl;

wherein said heteroaryl is having 1 to 4 heteroatoms chosen from nitrogen, oxygen and sulfur; and

wherein said phenyl or said heteroaryl is optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxyl, alkyl, alkyloxy, trifluoromethyl, trifluoromethoxy, carboxyl, alkyloxycarbonyl, cyano and amino; and

wherein said cycloalkyl is optionally substituted with one or more substituents chosen from halogen and trifluoromethyl; and

R₄ is C₁₋₆alkyl, C₂₋₆alkenyl-CH₂- or C₂₋₆alkynyl-CH₂-, C₃₋₈cycloalkyl or C₃₋₈cycloalkylalkyl; or

an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

- 5 2. The compound as set forth in claim 1, wherein R₄
 is C₁₋₆alkyl.
3. The compound as set forth in claim 1, wherein R₂
 is carboxyl.
- 10 4. The compound as set forth in claim 1, wherein R₃
 is C₁₋₆alkyl substituted with an optionally
 substituted phenylthio, cycloalkylthio or
 heteroarylthio.
- 15 5. The compound as set forth in claim 4, wherein R₃
 is ethyl substituted with thienylthio, phenylthio
 substituted with halogen or cyclohexylthio or
 cyclopentylthio.
- 20 6. The compound as set forth in claim 1, which is
 selected from the group consisting of:
- 1-(2-cyclohexylsulfanylethyl)-4-[3-(3-fluoro-
25 6-methoxyquinolin-4-yl)-3-oxopropyl]piperidine-3-
 carboxylic acid,
- 4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxo-
 propyl]-1-[3-(2,3,5-trifluorophenyl)prop-2-
30 ynyl]piperidine-3-carboxylic acid,
- 4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-
 yl)propyl]-1-[2-(2,5-
 difluorophenylsulfanyl)ethyl]piperidine-
35 3-carboxylic acid,
- 4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-
 yl)propyl]-1-[2-(2,5-
 difluorophenylsulfanyl)ethyl]piperidine-3-acetic

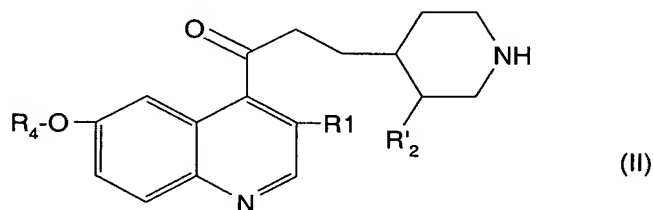
acid,

4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-
1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic
acid, and

4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-
1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-
3-carboxylic acid, or

an isomer, an enantiomer, a diastereoisomer or a
mixture thereof, or a pharmaceutically acceptable
salt thereof.

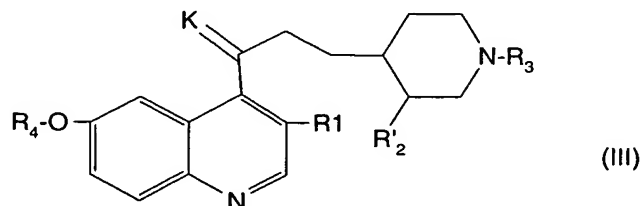
7. A process for preparing a compound of formula (I)
as set forth in claim 1, comprising condensing
R₃-X with a compound of formula (II) or a
corresponding ketone-protected compound of formula
(II):



wherein R₁, R₃ and R₄ are as defined in claim 1;
and

R₂' is protected carboxyl or carboxymethyl;

X is halogen, methylsulfonyloxy, trifluoromethyl-
sulfonyloxy or p-toluenesulfonyloxy; to
obtain a compound of formula (III):



wherein R_1 , R'_2 , R_3 and R_4 are as defined above;
and

K is oxygen or a ketone-protecting group; and

5 deprotecting the compound of formula (III) to form
the compound of formula (I) wherein R_2 is
carboxyl or carboxymethyl; and optionally

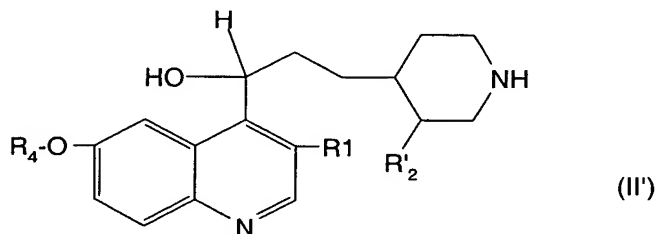
reducing the carboxyl compound of formula (I) thus
obtained or reducing directly the protected
carboxyl compound of formula (III) to obtain
10 a compound of formula (I) wherein R_2 is
hydroxymethyl; and, optionally,

converting said hydroxymethyl compound of formula
(I) to a carboxymethyl compound of formula
(I); and optionally

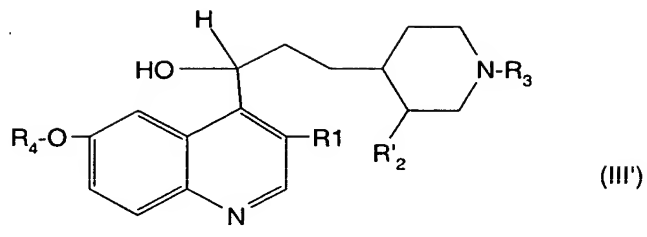
15 separating the isomers, and removing the acid-
protecting group, and the ketone-protecting group;
and optionally

converting said compound to a suitable salt.

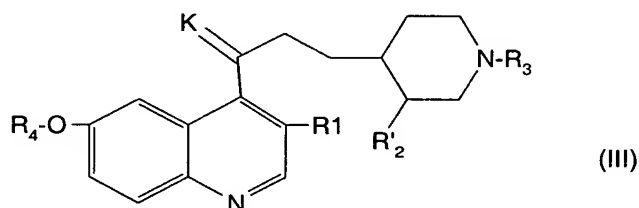
8. A process for preparing a compound of formula (I)
20 as set forth in claim 1 comprising condensing R_3 -X
with a compound of formula (II'):



to obtain a compound of formula (III'):



oxidizing the alcohol group in the alpha position of the quinoline to a ketone to obtain a compound of formula (III):



5

wherein R_1 , R_3 and R_4 are as defined in claim 1 and R'_2 is a protected carboxyl or carboxymethyl; and

10 X is halogen, methylsulfonyloxy, trifluoromethylsulfonyloxy or p-toluene-sulfonyloxy; and

K is oxygen;

15 deprotecting the compound of formula (III) to form compound of formula (I) wherein R_2 is carboxyl or carboxymethyl; and optionally

20 reducing the carboxyl compound of formula (I) thus obtained or reducing directly the protected carboxyl compound of formula (III) to obtain a compound of formula (I) wherein R_2 is hydroxymethyl; and, optionally,

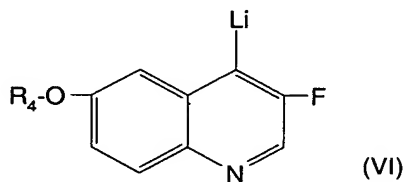
converting said hydroxymethyl compound of formula (I) to a carboxymethyl compound of formula (I); and optionally

separating the isomers, and removing the acid-protecting group, and the ketone-protecting group; and optionally

converting said compound to a suitable salt.

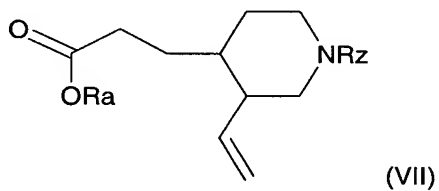
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9. The process as set forth in claim 7, wherein the compound of formula (II) in which R₁ is fluorine is prepared by the reaction of a compound of formula (VI):



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with a compound of formula (VII):



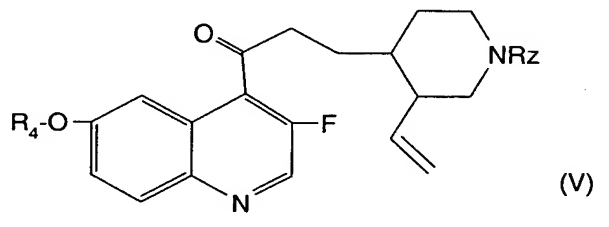
wherein R₄ is as defined in claim 7;

15

Rz is an amine-protecting group; and

Ra is an alkyl group;

to obtain a compound of formula (V):



oxidizing compound of formula (V) to obtain the corresponding compound of formula (I) in which R₂ is carboxyl; and optionally

protecting the carboxyl and the ketone groups; and

5 reducing the carboxyl to hydroxymethyl, and
 converting said hydroxymethyl to carboxymethyl;
 and

 deprotecting the ketone and the amine groups to
 obtain the compound of formula (II) in which R₁ is
10 fluorine.

10. The process as set forth in claim 7 wherein the
 compound formed is selected from the group
 consisting of:

15 1-(2-cyclohexylsulfanylethyl)-4-[3-(3-fluoro-
 6-methoxyquinolin-4-yl)-3-oxopropyl]piperidine-3-
 carboxylic acid,

 4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxo-
20 propyl]-1-[3-(2,3,5-trifluorophenyl)prop-2-
 ynyl]piperidine-3-carboxylic acid,

 4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-
 yl)propyl]-1-[2-(2,5-
25 difluorophenylsulfanyl)ethyl]piperidine-
 3-carboxylic acid,

 4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-
 yl)propyl]-1-[2-(2,5-
30 difluorophenylsulfanyl)ethyl]piperidine-3-acetic
 acid,

 4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-
 1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic
35 acid, and

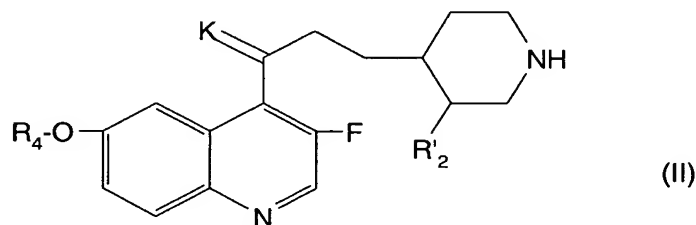
 4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-

1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-3-carboxylic acid, or

5 an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

11. A pharmaceutical composition comprising therapeutically effective amount of a compound of
10 formula (I) as set forth in claim 1 or a pharmaceutically acceptable salt thereof, in combination with a pharmaceutically acceptable carrier.

15 12. A compound of formula (II):



wherein

R'₂ is protected carboxyl or carboxymethyl;

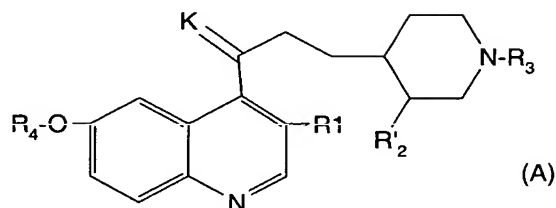
20 R₄ is C₁₋₆alkyl, C₂₋₆alkenyl-CH₂- or C₂₋₆alkynyl-CH₂-, C₃₋₈cycloalkyl or C₃₋₈cycloalkylalkyl; and

K is oxygen or a ketone-protecting group.

25 13. The compound as set forth in claim 12 wherein K is oxygen.

14. The compound as set forth in claim 12 wherein K is ketone-protecting group.

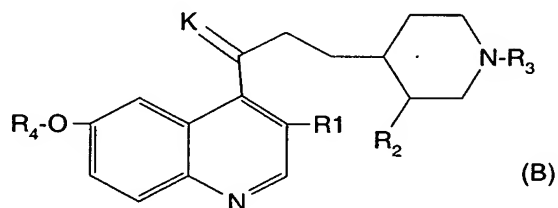
15. A compound of formula (A):



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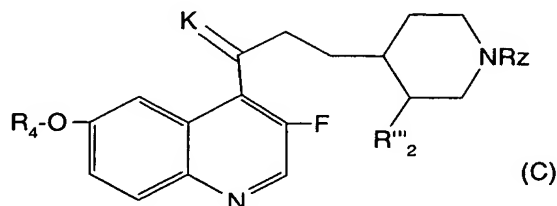
wherein R_1 , R_3 and R_4 are as defined in claim 1, R'_2 is protected carboxyl or carboxymethyl and K is a ketone-protecting group.

10 16. A compound of formula (B):



wherein R_1 , R_2 , R_3 and R_4 are as defined in claim 1 and K represents a ketone-protecting group

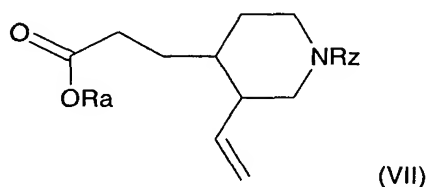
15 17. A compound of formula (C):



wherein R_4 is as defined in claim 1, R_z is an amine-protecting group, K is oxygen or a ketone-protecting group and R'''_2 is a free or protected carboxyl or carboxymethyl or hydroxymethyl.

5

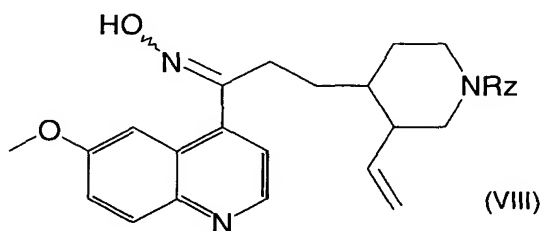
18. A compound of formula (VII):



10

wherein R_z is an amine-protecting group and R_a is C_{1-4} alkyl.

19. A compound of formula (VIII):



15

wherein R_z is an amine-protecting group.

20. A method of treatment of a bacterial infection in a patient comprising administering to said patient a therapeutically effective amount of a compound of formula (I) as set forth in claim 1 or a pharmaceutically acceptable salt thereof.

21. The method as set forth in claim 20 wherein said bacterial infection is caused by gram (+) bacteria.

25

22. The method as set forth in claim 20 wherein said bacterial infection is staphylococcic infection.
- 5 23. The method as set forth in claim 22 wherein said staphylococcic infection is selected from the group consisting of staphylococcal septicemias, malignant staphylococcic infections of the face or skin, pyoderma, septic or suppurant wounds, anthrax, phlegmons, erysipelas, acute primary or
10 post-influenza staphylococcic infections, bronchopneumonias and pulmonary suppurations.
- 15 24. The method as set forth in claim 20 wherein said bacterial infection is colibacillooses and related infections, proteus infection, klebsiella infection, salmonella infection, and infection caused by gram (-) bacteria.